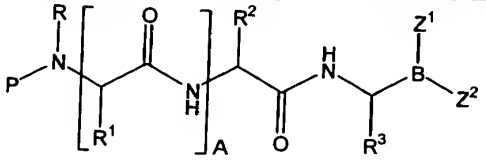
CLAIM AMENDMENTS

1. (Currently Amended) A compound of the formula (1):



(1)

wherein

P is hydrogen or an amino-group protecting moiety;

R is hydrogen or alkyl;

A is 0, 1, or 2;

R^1, R^2, and R^3 are each independently hydrogen, alkyl, cycloalkyl, aryl, or $-CH_2-R^5$;

R^5, in each instance, is aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, heteroaryl, or $-W-R^6$, where W is a chalcogen and R^6 is alkyl;

wherein the ring portion of any said aryl, aralkyl, ~~alkyaryl~~ alkaryl, cycloalkyl, heterocyclyl, or heteroaryl in R^1, R^2, R^3, or R^5 can be optionally substituted; and

Z^1 and Z^2 together form a moiety derived from sugar, wherein the atom attached to boron in each case ~~in~~ is an oxygen atom, and wherein the sugar is mannitol.

2. - 4. (Canceled)

5. (Original) The compound of claim 1, wherein A is 0.

6. - 7. (Canceled)

8. (Original) The compound of claim 1, wherein P is R^7-C(O)-, R^7-$S(O)_2$-, R^7-NH-C(O)-, or R^7-O-C(O)-;

where R^7 is alkyl, aryl, alkaryl, or aralkyl, any of which can be optionally substituted, or when P is R^7-C(O)- or R^7-$S(O)_2$-, R^7 can also be an optionally substituted 5- to 10-membered saturated, partially saturated, or aromatic heterocycle.

9. (Original) The compound of claim 8, wherein P is R^7-C(O)- or R^7-$S(O)_2$-, and R^7 is an aromatic heterocycle.

10. (Original) The compound of claim 9, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

11. (Original) The compound of claim 8, wherein
A is zero;
R is hydrogen or C_1-C_8 alkyl; and
R^3 is C_1-C_6 alkyl.

12. (Original) The compound of claim 11, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

13. (Canceled)

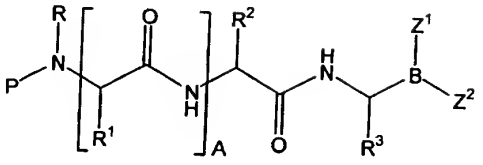
14. (Original) The compound of claim 1, wherein
R^1, R^2, and R^3 are each independently hydrogen, C_1-C_8 alkyl, C_3-C_{10} cycloalkyl, C_6-C_{10} aryl, or $-CH_2-R^5$;
R^5 in each instance is C_6-C_{10} aryl, (C_6-C_{10})ar(C_1-C_6)alkyl, (C_1-C_6)alk(C_6-C_{10})aryl, C_3-C_{10} cycloalkyl, C_1-C_8 alkoxy, or C_1-C_8 alkylthio;
wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl groups of R^1, R^2, R^3, or R^5 can be optionally substituted.

A8 cont'd

15. (Original) The compound of claim 1, wherein said compound is:
D-Mannitol *N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronate;
D-Mannitol *N*-(2-quinoline)sulfonyl-L-homophenylalanine-L-leucine boronate;
D-Mannitol *N*-(3-pyridine)carbonyl-L-phenylalanine-L-leucine boronate;
D-Mannitol *N*-(4-morpholine)carbonyl-L-phenylalanine-L-leucine boronate;
D-Mannitol *N*-(4-morpholine)carbonyl-β-(1-naphthyl)-L-alanine-L-leucine boronate;
D-Mannitol *N*-(8-quinoline)sulfonyl-β-(1-naphthyl)-L-alanine-L-leucine boronate;
D-Mannitol *N*-(4-morpholine)carbonyl-(*O*-benzyl)-L-tyrosine-L-leucine boronate;
D-Mannitol *N*-(4-morpholine)carbonyl-L-tyrosine-L-leucine boronate; or
D-Mannitol *N*-(4-morpholine)carbonyl-[*O*-(2-pyridylmethyl)]-L-tyrosine-L-leucine boronate.

16. (Original) The compound D-mannitol *N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronate.

17. (Currently Amended) A lyophilized compound of the formula (1):



(I)

wherein

P is hydrogen or an amino-group protecting moiety;

R is hydrogen or alkyl;

A is 0, 1, or 2;

R^1, R^2, and R^3 are each independently hydrogen, alkyl, cycloalkyl, aryl, or $-CH_2-R^5$;

R^5, in each instance, is aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, heteroaryl, or $-W-R^6$, where W is a chalcogen and R^6 is alkyl;

wherein the ring portion of any said aryl, aralkyl, ~~alkyaryl~~ alkaryl, cycloalkyl, heterocyclyl, or heteroaryl in R^1, R^2, R^3, or R^5 can be optionally substituted; and

Z^1 and Z^2 together form a moiety derived from sugar, wherein the atom attached to boron in each case in an oxygen atom, and wherein the sugar is mannitol.

18. - 19. (Canceled)

A8 contd

20. (Original) The compound of claim 17, wherein A is 0.

21. - 23. (Canceled)

24. (Original) The compound of claim 17, wherein P is R^7-C(O)-, R^7-$S(O)_2$-, R^7-NH-C(O)-, or R^7-O-C(O)-;

where R^7 is alkyl, aryl, alkaryl, or aralkyl, any of which can be optionally substituted, or when P is R^7-C(O)- or R^7-$S(O)_2$-, R^7 can also be an optionally substituted 5- to 10-membered saturated, partially saturated, or aromatic heterocycle.

25. (Original) The compound of claim 24, wherein P is R^7-C(O)- or R^7-$S(O)_2$-, and R^7 is an aromatic heterocycle.

26. (Original) The compound of claim 25, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

27. (Original) The compound of claim 24, wherein

A is zero;

R is hydrogen or C_1-C_8 alkyl; and
R^3 is C_1-C_6 alkyl.

28. (Original) The compound of claim 27, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

29. (Canceled)

30. (Original) The compound of claim 17, wherein
R^1, R^2, and R^3 are each independently hydrogen, C_1-C_8 alkyl, C_3-C_{10} cycloalkyl, C_6-C_{10} aryl, or $-CH_2-R^5$;
R^5 in each instance is C_6-C_{10} aryl, (C_6-C_{10})ar(C_1-C_6)alkyl, (C_1-C_6)alk(C_6-C_{10})aryl, C_3-C_{10} cycloalkyl, C_1-C_8 alkoxy, or C_1-C_8 alkylthio;
wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl groups of R^1, R^2, R^3, or R^5 can be optionally substituted.

A8 cont'd

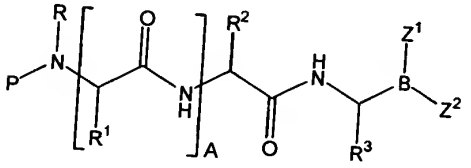
31. (Original) The compound of claim 25, wherein said compound is:
D-Mannitol *N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronate;
D-Mannitol *N*-(2-quinoline)sulfonyl-L-homophenylalanine-L-leucine boronate;
D-Mannitol *N*-(3-pyridine)carbonyl-L-phenylalanine-L-leucine boronate;
D-Mannitol *N*-(4-morpholine)carbonyl-L-phenylalanine-L-leucine boronate;
D-Mannitol *N*-(4-morpholine)carbonyl-β-(1-naphthyl)-L-alanine-L-leucine boronate;
D-Mannitol *N*-(8-quinoline)sulfonyl-β-(1-naphthyl)-L-alanine-L-leucine boronate;
D-Mannitol *N*-(4-morpholine)carbonyl-(*O*-benzyl)-L-tyrosine-L-leucine boronate;
D-Mannitol *N*-(4-morpholine)carbonyl-L-tyrosine-L-leucine boronate; or
D-Mannitol *N*-(4-morpholine)carbonyl-[*O*-(2-pyridylmethyl)]-L-tyrosine-L-leucine boronate.

32. (Original) The lyophilized compound D-mannitol *N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronate.

33. (Original) The compound of claim 17, wherein the compound is stable at 0 °C for at least one month.

34. (Original) The compound of claim 17, wherein the compound is stable at 40 °C for at least one month.

35. (Currently Amended) A method of preparing a lyophilized compound of the formula (1):



(1)

wherein

P is hydrogen or an amino-group protecting moiety;

R is hydrogen or alkyl;

A is 0, 1, or 2;

R^1, R^2, and R^3 are each independently hydrogen, alkyl, cycloalkyl, aryl, or $-CH_2-R^5$;

R^5 in each instance is aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, heteroaryl, or $-W-R^6$, where W is a chalcogen and R^6 is alkyl;

wherein the ring portion of any said aryl, aralkyl, ~~alkyaryl~~ alkaryl, cycloalkyl, heterocyclyl, or heteroaryl in R^1, R^2, R^3, or R^5 can be optionally substituted; and

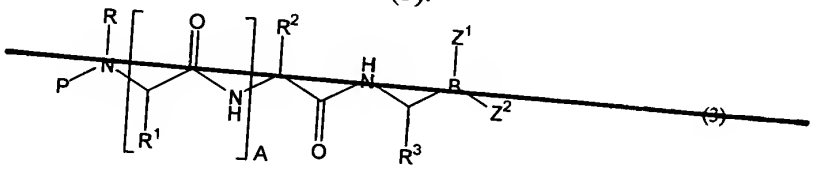
Z^1 and Z^2 ~~are derived from a sugar~~ together form a moiety derived from sugar;

the method comprising:

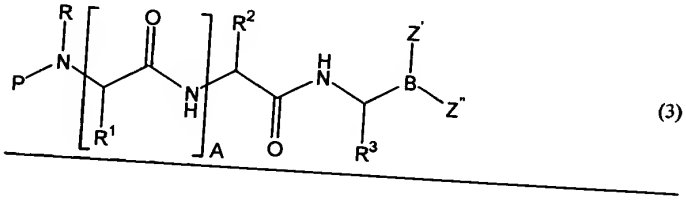
(a) preparing a mixture comprising

(i) water,

(ii) a compound of formula (3).



(3)



(3)

wherein P, R, A, R^1, R^2, and R^3 are as described above; and

~~Z^1 and Z^2~~ Z' and Z'' are OH; and

(iii) a ~~moiety derived from~~ sugar mannitol; and

A8 cont'd

(b) lyophilizing the mixture.

36. - 40. (Cancled)

41. (Original) The method of claim 35, wherein P is R^7-C(O)-, R^7-$S(O)_2$-, R^7-NH-C(O)-, or R^7-O-C(O)-;

where R^7 is alkyl, aryl, alkaryl, or aralkyl, any of which can be optionally substituted, or when P is R^7-C(O)- or R^7-$S(O)_2$-, R^7 can also be an optionally substituted 5- to 10-membered saturated, partially saturated, or aromatic heterocycle.

42. (Original) The method of claim 41, wherein P is R^7-C(O)- or R^7-$S(O)_2$-, and R^7 is an aromatic heterocycle.

43. (Original) The method of claim 42, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

44. (Original) The method of claim 35, wherein
A is zero;
R is hydrogen or C_1-C_6 alkyl; and
R^3 is C_1-C_6 alkyl.

45. (Original) The method of claim 44, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

46. (Original) The method of claim 35, wherein
R^1, R^2, and R^3 are each independently hydrogen, C_1-C_8 alkyl, C_3-C_{10} cycloalkyl, C_6-C_{10} aryl, or $-CH_2-R^5$;

R^5 in each instance is C_6-C_{10} aryl, (C_6-C_{10})ar(C_1-C_6)alkyl, (C_1-C_6)alk(C_6-C_{10})aryl, C_3-C_{10} cycloalkyl, C_1-C_8 alkoxy, or C_1-C_8 alkylthio;
wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl groups of R^1, R^2, R^3, or R^5 can be optionally substituted.

47. (Original) The method of claim 35, wherein the compound of formula (3) is:
N-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronic acid;
N-(2-quinoline)sulfonyl-L-homophenylalanine-L-leucine boronic acid;

N-(3-pyridine)carbonyl-L-phenylalanine-L-leucine boronic acid;
N-(4-morpholine)carbonyl-L-phenylalanine-L-leucine boronic acid;
N-(4-morpholine)carbonyl-β-(1-naphthyl)-L-alanine-L-leucine boronic acid;
N-(8-quinoline)sulfonyl-β-(1-naphthyl)-L-alanine-L-leucine boronic acid;
N-(4-morpholine)carbonyl-(*O*-benzyl)-L-tyrosine-L-leucine boronic acid;
N-(4-morpholine)carbonyl-L-tyrosine-L-leucine boronic acid; or
N-(4-morpholine)carbonyl-[*O*-(2-pyridylmethyl)]-L-tyrosine-L-leucine boronic acid.

48. (Original) The method of claim 35, wherein the compound of formula (1) is D-mannitol *N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronate.

49. (Original) The method of claim 47, wherein the compound of formula (3) is *N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronic acid.

50. (Original) The method of claim 35, wherein the mixture further comprises a water-miscible solvent.

A8 cont'd

51. (Original) The method of claim 50, wherein the water-miscible solvent is an alcohol.

52. (Original) The method of claim 51, wherein the alcohol is *tert*-butanol.

53. (Currently Amended) The method of claim 35, wherein ~~the moiety derived from sugar~~ mannitol and the compound of formula (3) are present in at least a 1:1 ratio.

54. (Currently Amended) The method of claim 35, wherein ~~the moiety derived from sugar~~ mannitol and the compound of formula (3) are present in at least a 5:1 ratio.

55. (Original) A lyophilized cake comprising the compound of claim 17.

56. (New) A composition comprising the compound of claim 1 and a pharmaceutically-acceptable carrier.

57. (New) A composition comprising the compound of claim 8 and a pharmaceutically-acceptable carrier.

58. (New) A composition comprising the compound of claim 12 and a pharmaceutically-acceptable carrier.

59. (New) A composition comprising the compound of claim 16 and a pharmaceutically-acceptable carrier.

60. (New) A composition comprising the compound of claim 17 and a pharmaceutically-acceptable carrier.

61. (New) A composition comprising the compound of claim 24 and a pharmaceutically-acceptable carrier.

62. (New) A composition comprising the compound of claim 28 and a pharmaceutically-acceptable carrier.

63. (New) A composition comprising the compound of claim 32 and a pharmaceutically-acceptable carrier.

A8 cont'd

64. (New) A lyophilized cake comprising the compound of claim 24.

65. (New) A lyophilized cake comprising the compound of claim 28.

66. (New) A lyophilized cake comprising the compound of claim 32.

67. (New) The method of claim 35 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.

68. (New) The method of claim 41 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.

69. (New) The method of claim 45 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.

70. (New) The method of claim 48 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.

71. (New) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 35 and (ii) a pharmaceutically-acceptable carrier.

72. (New) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 41 and (ii) a pharmaceutically-acceptable carrier.

73. (New) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 45 and (ii) a pharmaceutically-acceptable carrier.

74. (New) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 48 and (ii) a pharmaceutically-acceptable carrier.

75. (New) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 35.

76. (New) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 41.

77. (New) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 45.

78. (New) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 48.

79. (New) The compound of claim 12, wherein P is (2-pyrazine)carbonyl.

80. (New) A composition comprising the compound of claim 79 and a pharmaceutically-acceptable carrier.

81. (New) The compound of claim 28, wherein P is (2-pyrazine)carbonyl.

82. (New) A composition comprising the compound of claim 81 and a pharmaceutically-acceptable carrier.

83. (New) A lyophilized cake comprising the compound of claim 81.

84. (New) The method of claim 45, wherein P is (2-pyrazine)carbonyl.

85. (New) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 84 and (ii) a pharmaceutically-acceptable carrier.

86. (New) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 84.

87. (New) The compound of claim 1, wherein P and R together form a cyclic moiety.

88. (New) The compound of claim 87, wherein Z^1 and Z^2 together form a moiety derived from mannitol.

A8 cont'd

89. (New) The compound of claim 88, wherein
A is zero;
R is hydrogen or C_1-C_8 alkyl;
R^3 is C_1-C_6 alkyl; and
P is (2-pyrazine)carbonyl.

90. (New) A composition comprising the compound of claim 87 and a pharmaceutically-acceptable carrier.

91. (New) A composition comprising the compound of claim 88 and a pharmaceutically-acceptable carrier.

92. (New) A composition comprising the compound of claim 89 and a pharmaceutically-acceptable carrier.

93. (New) The compound of claim 17, wherein P and R together form a cyclic moiety.

94. (New) The compound of claim 93, wherein Z^1 and Z^2 together form a moiety derived from mannitol.

95. (New) The compound of claim 94, wherein
A is zero;
R is hydrogen or C_1-C_8 alkyl;
R^3 is C_1-C_6 alkyl; and
P is (2-pyrazine)carbonyl.

96. (New) A composition comprising the compound of claim 93 and a pharmaceutically-acceptable carrier.

97. (New) A composition comprising the compound of claim 94 and a pharmaceutically-acceptable carrier.

98. (New) A composition comprising the compound of claim 95 and a pharmaceutically-acceptable carrier.

A8 cont'd

99. (New) A lyophilized cake comprising the compound of claim 93.

100. (New) A lyophilized cake comprising the compound of claim 94.

101. (New) A lyophilized cake comprising the compound of claim 95.

102. (New) The method of claim 35, wherein P and R together form a cyclic moiety.

103. (New) The method of claim 102, wherein
A is zero;
R is hydrogen or C_1-C_8 alkyl;
R^3 is C_1-C_6 alkyl; and
P is (2-pyrazine)carbonyl.

104. (New) The method of claim 102 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.

105. (New) The method of claim 103 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.

106. (New) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 102 and (ii) a pharmaceutically-acceptable carrier.

107. (New) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 103 and (ii) a pharmaceutically-acceptable carrier.

18 cont'd

108. (New) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 102.

109. (New) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 103.